

Claims

1. A pharmaceuticals characterized by general formula (I)



wherein

V denotes a peptide with a binding sequence $-X^1-X^2\text{-Val-Tyr-Ile-His-Pro-}X^8\text{-}X^9\text{-}X^{10}$,

L denotes bond or a linker,

Z denotes a group that optionally can carry an imaging moiety M,

n is 0 or 1,

X^1 denotes an amino acid,

X^2 denotes Arg, N-alkylated Arg, or a mimetic of Arg ,

X^8 denotes Gly or Phe or an amino acid containing an aromatic or aliphatic side-chain,

X^9 and X^{10} denote, independent of each other, Pro, Arg, His, Ala, Phe, Glu, Leu, Val, Ile, Met, Trp, Asp or Lys and where X^8 , X^9 and X^{10} together constitute an ACE cleavage site

and wherein the residues Val and Ile at position 3 and 5 respectively may optionally be replaced with amino acids capable of forming a bridge,

Z forms a bond with the amino acid X^1 optionally through the linker L, and M where present denotes an imageable moiety capable of detection either directly or indirectly in a diagnostic imaging procedure.

2. A pharmaceutical according to claim 8 wherein the amino acid of X^1 , X^2 , X^8 , X^9 , X^{10} are independently selected from

X^1 denoting Gly

X^2 denoting Arg or N-Methyl-Arg

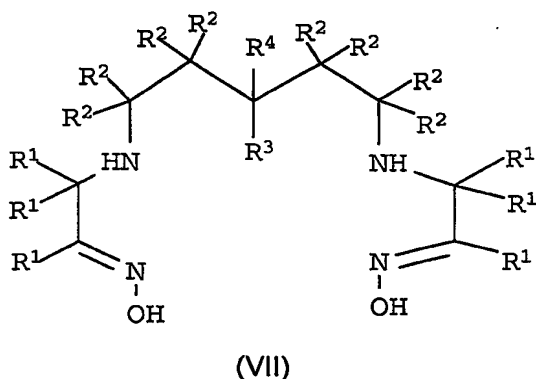
X^8 denoting Phe

X^9 denoting Pro, Arg, His, Ala, Phe, Glu, Leu, Val, Ile, Met, Trp, Asp or Lys and

X^{10} denoting Pro, Arg, His, Ala, Phe, Glu, Leu, Val, Ile, Met, Trp, Asp or Lys .

3. A pharmaceutical according to the preceding claims further comprising one or more biomodifier groups are attached to any positions of the V and L groups of formula (I)

4. A pharmaceutical according to the preceding claims wherein Z denotes a chelating agent .
5. A pharmaceutical according to claim 4 wherein Z denotes the chelating agent of formula (VII)



wherein:

each R¹, R², R³ and R⁴ is independently H or C₁₋₁₀ alkyl, C₃₋₁₀ alkylaryl, C₂₋₁₀ alkoxyalkyl, C₁₋₁₀ hydroxyalkyl, C₁₋₁₀ alkylamine, C₁₋₁₀ fluoroalkyl, or 2 or more R groups, together with the atoms to which they are attached form a carbocyclic, heterocyclic, saturated or unsaturated ring.

6. A pharmaceutical according to any of the preceding claims wherein M represents an imageable moiety for the use in diagnosis particularly in *in vivo* diagnosis comprising a moiety which emit or cause to emit detectable radiation, a moiety which affect local electromagnetic fields, moieties which absorb or scatter radiation energy, heavy metals and compounds thereof and moieties which generate a detectable substance.
7. A pharmaceutical according to claim 6 wherein M represents a gamma emitting moiety for Radio or SPECT imaging comprising ⁶⁷Ga, ¹¹¹In, ¹²³I, ¹²⁵I, ¹³¹I, ^{81m}Kr, ⁹⁹Mo, ^{99m}Tc, ²⁰¹Tl and ¹³³Xe.
8. A pharmaceutical according to claim 6 wherein M represents a radio emitter with positron emitting properties for PET imaging comprising ¹¹C, ¹⁸F, ⁶⁸Ga, ¹³N, ¹⁵O and ⁸²Rb.

9. Pharmaceutical formulation comprising a pharmaceutical of formula (I) of claim 1 together with one or more pharmaceutical acceptable additives and/or excipients.

10. A kit for the preparation of a radiopharmaceutical composition of formula (I) comprising a peptide-chelate conjugate and a reducing agent.